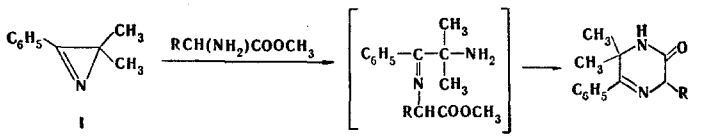
REACTION OF 2,2-DIMETHYL-3-PHENYLAZIRINE WITH AMINO ACID ESTERS

A. V. Eremeev, R. S. Él'kinson, and V. A. Imuns

UDC 547.7.466.2.6

We have found that when 2,2-dimethyl-3-phenylazirine (I) is simply mixed with the methyl esters of glycine, D,L-alanine, and other L-amino acids, it reacts to give compounds of a previously unknown type, viz., 1,2,3,5-tetrahydro-2-pyrazinones, in 35-75% yields:



I

II R=H (mp) 126–128°); III R=CH_3 (mp) 147–149°); IV R=i-C_3H_7 (mp) 136–137°); V R=$CH_2C_6H_5$ (mp) 193–195°); VI R=CH_2COOH_3 (mp) 174–176°); VII R=$CH_2CH_2COOH_3$ (mp) 112–114°); VIII R= 3-indolyl(mp) 224–225°)

Characteristic absorption bands of an amide C = O group (1670 cm^{-1}) and a C = N bond (1640 cm^{-1}) and stretching vibrations of an amide NH group (3180 cm^{-1}) are present in the IR spectra of II-VIII. In addition, absorption bands of a carbomethoxy group (1735 cm^{-1}) are observed in the IR spectra of VI and VII. The PMR spectra of II-VIII contain a broad singlet of an NH group at 7-8 ppm, a singlet of phenyl protons at 7-7.5 ppm, and characteristic signals of the α protons of an amino acid chain at 3-4 ppm. The presence of nonequivalent resonance signals of two $C(CH_3)$ groups in the spectra of III-VIII (1.4 and 1.5 ppm) constitutes evidence for the cyclic structure of the compounds obtained. In addition, a singlet of a $COOCH_3$ group at 3.6 ppm is observed in the spectra of esters VI and VII. The results of elementary analysis of tetrahydropyrazinones II-VIII were in agreement with the calculated values.

Institute of Organic Synthesis, Academy of Sciences of the Latvian SSR, Riga 226006. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 7, pp. 988-989, July, 1979. Original article submitted December 19, 1978.

0009-3122/79/1507-0810$07.50